

What is claimed is:

1. A compound of Formula (I):

5

$E^{cp}$ -A

(I)

or a pharmaceutically acceptable salt form thereof, wherein;

$E^{cp}$  is an enzyme cleavable peptide conjugated to A and selected from:

10

Cap- Paa -Xa2 -Gly - Xp1 - Laa -;

Cap- Xa2 - Gly - Xp1 - Laa -;

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Gly - Xp1 - Xp2 - Laa -;

15

Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

20

Cap- Paa - Xa2 - Sar - Xp1 - Laa -;

Cap- Xa2 - Sar - Xp1 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Sar - Xp1 - Xp2 - Laa -;

Cap- Sar - Xp1 - Xp2 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -;

25

Cap- Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -; and

Cap- Sar - Xp1 - Xp2 - Xp3 - Laa -;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;

Xa2 is an amino acid;

30

Xp1 is an amino acid wherein -Gly-Xp1- or -Sar-Xp1- form a bond cleavable by a matrixin;

Xp2 is an amino acid;

Xp3 is an amino acid;

Laa is an amino acid selected from Leu, Ile, Nle,  $\beta$ -homo-Leu, Hol, Hos, Ala,  $\beta$ -Ala, Cha, Cba, Cta, 4-pyridyl-Ala, 3-pyridyl-Ala, 2-pyridyl-Ala, Gly, Abu, Aib, Iva, Nva, Ahx, Aph, Amh, Phe, Bip, Glu, Arg, Trp, Tyr, O-(C<sub>1</sub>-C<sub>4</sub> alkyl)-Tyr, O-(phenyl(C<sub>1</sub>-C<sub>4</sub> alkyl))-Tyr, (C<sub>3</sub>-C<sub>8</sub> alkyl)-Gly, and aminoalkyl carboxylic acid;

5 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

10 Xa4- is an amino acid;

R is an amino capping group;

and

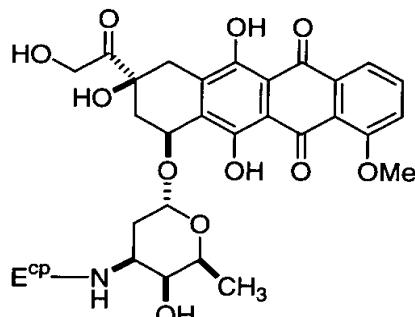
A is an antineoplastic agent.

2. A compound of Claim 1 wherein A is doxorubicin, a doxorubicin derivative, or  
15 a doxorubicin analogue.

3. A compound of Claim 2 wherein A is doxorubicin.

4. A compound of Claim 3 of Formula (Ia):

20



(Ia)

or a pharmaceutically acceptable salt form thereof, wherein;

25 Ecp is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Xp1 - Laa -;

Cap- Xa2 - Gly - Xp1 - Laa -;  
 Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;  
 Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;  
 Cap- Gly - Xp1 - Xp2 - Laa -;  
 5 Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;  
 Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;  
 Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Paa - Xa2 - Sar - Xp1 - Laa -;  
 10 Cap- Xa2 - Sar - Xp1 - Laa -;  
 Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Laa -;  
 Cap- Xa2 - Sar - Xp1 - Xp2 - Laa -;  
 Cap- Sar - Xp1 - Xp2 - Laa -;  
 Cap- Paa - Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -;  
 15 Cap- Xa2 - Sar - Xp1 - Xp2 - Xp3 - Laa -; and  
 Cap- Sar - Xp1 - Xp2 - Xp3 - Laa -;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;  
 20 Xa2 is an amino acid;  
 Xp1 is an amino acid wherein -Gly-Xp1- or -Sar-Xp1- form a bond cleavable by  
 a matrixin;  
 Xp2 is an amino acid;  
 Xp3 is an amino acid;  
 25 Laa is an amino acid selected from Leu, Ile, Nle,  $\beta$ -homo-Leu, Hol, Hos, Ala,  $\beta$ -  
 Ala, Cha, Cba, Cta, 4-pyridyl-Ala, 3-pyridyl-Ala, 2-pyridyl-Ala, Gly,  
 Abu, Aib, Iva, Nva, Ahx, Aph, Amh, Phe, Bip, Glu, Arg, Trp, Tyr,  
 O-(C<sub>1</sub>-C<sub>4</sub> alkyl)-Tyr, O-(phenyl(C<sub>1</sub>-C<sub>4</sub> alkyl))-Tyr, (C<sub>3</sub>-C<sub>8</sub> alkyl)-Gly,  
 and aminoalkyl carboxylic acid;  
 30 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;  
 Xa4- is an amino acid;

R is selected from:  $\text{H}_3\text{CC}(=\text{O})$ -;

$\text{HOC}(=\text{O})-(\text{CH}_2)_v\text{C}(=\text{O})$ -,

wherein v is 1, 2, 3, 4, 5, or 6;

$\text{H}_3\text{CO}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,

5  $\text{HO}_2\text{CCH}_2\text{O}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,

$\text{H}_2\text{N}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -, and

$\text{H}_3\text{CC}(=\text{O})\text{HN}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,

wherein t is 1, 2, 3, or 4;

$\text{R}^1\text{-C}(=\text{O})$ -;

10  $\text{R}^1\text{-S}(=\text{O})_2$ -;

$\text{R}^1\text{-NHC}(=\text{O})$ -;

$\text{R}^{1a}\text{-CH}_2\text{C}(=\text{O})$ -;

proline substituted with - $\text{OR}^3$ ;

$\text{C}_1\text{-C}_4$  alkyl substituted with 0-1  $\text{R}^4$ ;

15 2-carboxyphenyl-C(=O)-; and

$(\text{O}=\text{)C-phenyl-C(=O)}$ -;

$\text{R}^1$  is  $\text{C}_3\text{-C}_6$  cycloalkyl substituted with 0, 1, or 2 substituents selected from

-OH, methoxy and - $\text{CO}_2\text{H}$ ;

20 5-6 membered heterocycle; said heterocycle being saturated, partially saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4 heteroatoms selected from N, O, and S; said heterocycle optionally substituted with 1 or 2 -OH, methoxy or - $\text{CO}_2\text{H}$ ;

phenyl substituted with 0, 1, or 2 substituents selected from -OH,

25 methoxy and - $\text{CO}_2\text{H}$ ; or

$\text{C}_1\text{-C}_6$  alkyl substituted with 0-4  $\text{R}^{1a}$ ;

$\text{R}^{1a}$  is -OH,  $\text{C}_1\text{-C}_3$  alkyl,  $\text{C}_1\text{-C}_4$  alkoxy, - $\text{CO}_2\text{H}$ , - $\text{N}(\text{CH}_2\text{CH}_2)_2\text{N-R}^2$ , - $\text{SO}_3\text{H}$ ;

$\text{C}_3\text{-C}_6$  cycloalkyl substituted with 0, 1, or 2 substituents selected from

methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially  
saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
heteroatoms selected from N, O, and S; said heterocycle optionally  
substituted with 1 or 2 -OH; or  
5 phenyl substituted with 0, 1, or 2 substituents selected from methoxy  
and -OH;

$R^2$  is -H,  $H_2N(C_2\text{-}C_4$  alkyl)-, acetyl(H)N( $C_2\text{-}C_4$  alkyl)-, or acetyl;

$R^3$  is -H,  $C_1\text{-}C_4$  alkyl,  $C_3\text{-}C_6$  cycloalkyl, phenyl, or benzyl;

$R^4$  is -OH,  $C_1\text{-}C_3$  alkyl,  $C_1\text{-}C_4$  alkoxy, - $CO_2H$ , - $N(CH_2CH_2)_2N\text{-}R^2$  ;  
10  $C_3\text{-}C_6$  cycloalkyl substituted with 0, 1, or 2 substituents selected from  
methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially  
saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
heteroatoms selected from N, O, and S; said heterocycle optionally  
substituted with 1 or 2 -OH; or  
15  $C_6\text{-}C_{10}$  carbocycle substituted with 0, 1, or 2 substituents selected from  
methoxy and -OH.

5. A compound of Claim 4 of Formula (Ia), or a pharmaceutically acceptable salt  
20 form thereof, wherein;  
E<sup>cP</sup> is an enzyme cleavable peptide selected from:  
Cap- Paa - Xa2 - Gly - Xp1 - Laa -;  
Cap- Xa2 - Gly - Xp1 - Laa -;  
Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;  
25 Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;  
Cap- Gly - Xp1 - Xp2 - Laa -;  
Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;  
Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -; and  
30 Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;  
Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic;

Xa2 is an amino acid;  
 Xp1 is an amino acid wherein -Gly-Xp1- forms a bond cleavable by a matrixin;  
 Xp2 is an amino acid;  
 Xp3 is an amino acid;  
 5 Laa is an amino acid selected from Leu, Ile, Nle,  $\beta$ -homo-Leu, Hol, Hos, Ala,  $\beta$ -Ala, Cha, Cba, Cta, 4-pyridyl-Ala, Abu, Aib, Iva, Nva, Phe, Bip, Tyr, and O-benzyl-Tyr; and

10 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;  
 Xa4- is an amino acid;  
 R is selected from:  $\text{H}_3\text{CC}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})-(\text{CH}_2)_v\text{C}(=\text{O})$ -,  
 wherein v is 1, 2, 3, or 4;  
 $\text{H}_3\text{CO}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,  
 15  $\text{HO}_2\text{CCH}_2\text{O}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,  
 $\text{H}_2\text{N}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -, and  
 $\text{H}_3\text{CC}(=\text{O})\text{HN}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})$ -,  
 wherein t is 1, 2, or 3;  
 $\text{R}^1\text{C}(=\text{O})$ -;  
 20  $\text{R}^1\text{S}(=\text{O})_2$ -;  
 $\text{R}^1\text{NHC}(=\text{O})$ -;  
 $\text{R}^1\text{a-CH}_2\text{C}(=\text{O})$ -;  
 proline substituted with -OR<sup>3</sup>;  
 C<sub>1</sub>-C<sub>4</sub> alkyl substituted with 0-1 R<sup>4</sup>;  
 25  $\text{HO}_3\text{SCH}_2\text{CH}(\text{NH}_2)\text{C}(=\text{O})$ -;  
 2-carboxyphenyl-C(=O)-; and  
 $(\text{O}=\text{C-phenyl-C}(=\text{O})$ -;

R<sup>1</sup> is C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted with 0, 1, or 2 substituents selected from  
 30 -OH, methoxy and -CO<sub>2</sub>H;

5-6 membered heterocycle; said heterocycle being saturated, partially  
 saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
 heteroatoms selected from N, O, and S; said heterocycle optionally  
 substituted with 1 or 2 -OH, methoxy or -CO<sub>2</sub>H;

5 phenyl substituted with 0, 1, or 2 substituents selected from -OH,  
 methoxy and -CO<sub>2</sub>H; or

10 C<sub>1</sub>-C<sub>6</sub> alkyl substituted with 0-4 R<sup>1a</sup>;

R<sup>1a</sup> is -OH, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, -CO<sub>2</sub>H, -N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N-R<sup>2</sup>, -SO<sub>3</sub>H;  
 C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted with 0, 1, or 2 substituents selected from  
 methoxy and -OH;

15 5-6 membered heterocycle; said heterocycle being saturated, partially  
 saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
 heteroatoms selected from N, O, and S; said heterocycle optionally  
 substituted with 1 or 2 -OH; or

20 phenyl substituted with 0, 1, or 2 substituents selected from methoxy  
 and -OH;

R<sup>2</sup> is -H, H<sub>2</sub>N(C<sub>2</sub>-C<sub>4</sub> alkyl)-, acetyl(H)N(C<sub>2</sub>-C<sub>4</sub> alkyl)-, or acetyl;

R<sup>3</sup> is -H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, phenyl, or benzyl;

R<sup>4</sup> is -OH, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, -CO<sub>2</sub>H, -N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N-R<sup>2</sup>;

25 C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted with 0, 1, or 2 substituents selected from  
 methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially  
 saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
 heteroatoms selected from N, O, and S; said heterocycle optionally  
 substituted with 1 or 2 -OH; or

26 C<sub>6</sub>-C<sub>10</sub> carbocycle substituted with 0, 1, or 2 substituents selected from  
 methoxy and -OH.

6. The compound of Claim 5, wherein -Gly-Xp1- forms a bond cleavable by the  
 30 matrixin selected from MMP-2, MMP-9, and MMP-14.

7. The compound of Claim 5, wherein -Gly-Xp1- forms a bond cleavable by the matrixin selected from MMP-2 and MMP-9.

8. The compound of Claim 5, wherein -Gly-Xp1- forms a bond cleavable by the matrixin MMP-14.

5

9. The compound of Claim 5, wherein -Gly-Xp1- forms a bond cleavable by MMP-2, MMP-9, and MMP-14.

10 10. A compound of Claim 5 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E<sup>CP</sup> is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Xp1 - Laa -;

Cap- Xa2 - Gly - Xp1 - Laa -;

15 Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Laa -;

Cap- Gly - Xp1 - Xp2 - Laa -;

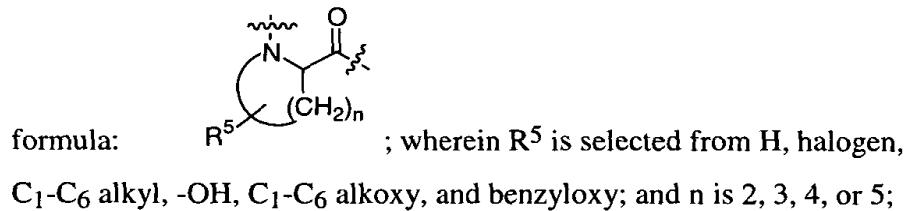
Cap- Paa - Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -;

Cap- Xa2 - Gly - Xp1 - Xp2 - Xp3 - Laa -; and

20 Cap- Gly - Xp1 - Xp2 - Xp3 - Laa -;

wherein -Gly-Xp1- forms a bond cleavable by a matrixin;

Paa is a Pro, Hyp, Aze, homo-Pro, Chg, Fph, Npa, Tzc, or proline mimetic of



Xa2 is an amino acid selected from

30 Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Om,  $\beta$ -Ala,  $\gamma$ -Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-

Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, Tyr, Cya, Hca, and Spa;

5 Xp1 is an amino acid selected from Hof; Leu; Bip; Phe; nor-Leu; Tha; Phg; Val; Glu; Asn; Ser; Ala; homo-Tyr; Aze; 4-aza-Hof; O-(3-pyridyl)-Tyr; O-(4-pyridyl)-Tyr; O-benzyl-Tyr; O-benzyl-Thr; O-benzyl-Ser; O-methyl-Ser; O-allyl-Ser; 4-nitro-Hof; N-methyl-Leu; O-(4-pyridylmethyl)-Tyr; 4-hydroxy-phenyl-Gly; phenylpropyl-Gly; 10 styryl-Ala , and 2Nal;

15 Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp, Cya, Hca, Spa, morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

20 Xp3 is an amino acid selected from Tyr, Ala, Ser, Leu, Hof, Arg, Asn, Asp, Aze, Cha, Cys, Dpa, Gln, Glu, Gly, His, Hyp, Ile, Irg, Lys, Met, Orn, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp, and Val;

Laa is an amino acid selected from Leu, Ile, Nle,  $\beta$ -homo-Leu, Hol, Hos, Ala,  $\beta$ -Ala, Cha, Cba, Cta, 4-pyridyl-Ala, Abu, Aib, Iva, Nva, and Phe;

25 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;

Xa4- is an amino acid selected from Gly, Pro,  $\gamma$ -Glu, Dmg, Ala, Arg, Asn, Asp,  $\beta$ -Asp, Aze, Cha, Cys, Dpa, Gln, Glu, His, Hyp, Ile, Irg, Leu, Lys, Met, Orn, Phe, Sar, Ser, Thr, Trp, Tyr, and Val;

30 R is selected from:  $\text{H}_3\text{CC}(=\text{O})$ -;  $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
 HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
 H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 5 HO<sub>2</sub>CCH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 H<sub>3</sub>CC(=O)HNCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 H<sub>3</sub>CC(=O)HNCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)- ;  
 10 H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(O)-;  
 H<sub>3</sub>CC(=O)HNCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(O)-;  
 H<sub>3</sub>CC(=O)N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(O)-;  
 O(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>NHC(O)- ;  
 HO<sub>2</sub>CCH<sub>2</sub>C(CO<sub>2</sub>H)(OH)CH<sub>2</sub>C(=O)- ;  
 15 HO<sub>2</sub>CCH<sub>2</sub>C(CH<sub>3</sub>)(OH)CH<sub>2</sub>C(=O)- ;  
 2-carboxycyclohexyl-C(=O)-;  
 2-carboxycyclopentyl-C(=O)-;  
 carbobenzyloxy;  
 4-methoxy-benzenesulfonyl;  
 20 cyclopropylcarbonyl;  
 cyclobutylcarbonyl;  
 3-pyridinecarbonyl;  
 2-pyrazinecarbonyl;  
 tetrazoleacetyl;  
 25 pivaloyl;  
 methoxyacetyl;  
 hydroxyproline; and  
 4-(2-(5,6,7,8-tetrahydronaphthyl))butyl.

30 11. The compound of Claim 10, wherein -Gly-Xp1- forms a bond cleavable by the  
 matrixin selected from MMP-2, MMP-9, and MMP-14.

12. The compound of Claim 10, wherein -Gly-Xp1- forms a bond cleavable by the matrixin selected from MMP-2 and MMP-9.

5 13. The compound of Claim 10, wherein -Gly-Xp1- forms a bond cleavable by the matrixin MMP-14.

14. The compound of Claim 10, wherein -Gly-Xp1- forms a bond cleavable by MMP-2 , MMP-9, and MMP-14.

10 15. A compound of Claim 10 of Formula (Ia), or a pharmaceutically acceptable salt form thereof, wherein;

E<sup>CP</sup> is an enzyme cleavable peptide selected from:

Cap- Paa - Xa2 - Gly - Leu - Laa -;

15 Cap- Paa - Xa2 - Gly - Hof - Laa -;

Cap- Xa2 - Gly - Leu - Laa -;

Cap- Xa2 - Gly - Hof - Laa -;

Cap- Paa - Xa2 - Gly - Leu - Xp2 - Laa -;

Cap- Paa - Xa2 - Gly - Hof - Xp2 - Laa -;

20 Cap- Xa2 - Gly - Leu - Xp2 - Laa -;

Cap- Xa2 - Gly - Hof - Xp2 - Laa -;

Cap- Gly - Leu - Xp2 - Laa -; and

Cap- Gly - Hof - Xp2 - Laa -;

25 wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

Paa is a Pro, Hyp, Aze, homo-Pro, or Npa;

Xa2 is an amino acid selected from

30 Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn,  $\beta$ -Ala,  $\gamma$ -Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof,

Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro),  
Pro, Sar, Ser, Thr, Trp, Tyr, Cya, Hca, and Spa;

15 Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys;  
Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab;  
Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-  
fluoro), Pro, Sar, Thr, Trp, Cya, Hca, Spa, morpholinylpropyl-Gly; O-(4-  
pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

10 Laa is an amino acid selected from Leu, Cha, Nle, and Hol;  
Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;  
Xa4- is an amino acid selected from Gly, Pro,  $\gamma$ -Glu, and Dmg;

15 R is selected from:  $\text{H}_3\text{CC}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;  
 $\text{H}_3\text{COCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;  
 $\text{H}_3\text{COCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;

20  $\text{HO}_2\text{CCH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;  
 $\text{H}_2\text{NCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;  
 $\text{H}_2\text{NCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;  
 $\text{H}_3\text{CC}(=\text{O})\text{HNCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;  
 $\text{H}_3\text{CC}(=\text{O})\text{HNCH}_2\text{CH}_2\text{OCH}_2\text{CH}_2\text{OCH}_2\text{C}(=\text{O})$ - ;

25  $\text{H}_2\text{NCH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C}(\text{O})$ -;  
 $\text{H}_3\text{CC}(=\text{O})\text{HNCH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C}(\text{O})$ -;  
 $\text{H}_3\text{CC}(=\text{O})\text{N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C}(\text{O})$ -;  
 $\text{O}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{CH}_2\text{NHC}(\text{O})$ - ;  
 $\text{HO}_2\text{CCH}_2\text{C}(\text{CO}_2\text{H})(\text{OH})\text{CH}_2\text{C}(=\text{O})$ - ;

30  $\text{HO}_2\text{CCH}_2\text{C}(\text{CH}_3)(\text{OH})\text{CH}_2\text{C}(=\text{O})$ - ;  
2-carboxycyclohexyl-C(=O)-;

2-carboxycyclopentyl-C(=O)-;  
carbobenzyloxy;  
4-methoxy-benzenesulfonyl;  
cyclopropylcarbonyl;  
5 cyclobutylcarbonyl;  
3-pyridinecarbonyl;  
2-pyrazinecarbonyl;  
tetrazoleacetyl;  
pivaloyl;  
10 methoxyacetyl;  
hydroxyproline; and  
4-(2-(5,6,7,8-tetrahydronaphthenyl))butyl.

16. The compound of Claim 15, wherein -Gly-Leu- and -Gly-Hof- form a bond  
15 cleavable by the matrixin selected from MMP-2, MMP-9, and MMP-14.

17. The compound of Claim 15, wherein -Gly-Leu- and -Gly-Hof- form a bond  
cleavable by the matrixin selected from MMP-2 and MMP-9.

20 18. The compound of Claim 15, wherein -Gly-Leu- and -Gly-Hof- form a bond  
cleavable by the matrixin MMP-14.

19. The compound of Claim 15, wherein -Gly-Leu- and -Gly-Hof- form a bond  
cleavable by MMP-2, MMP-9, and MMP-14.

25 20. A compound of Claim 15 of Formula (Ia), or a pharmaceutically acceptable salt  
form thereof, wherein;  
Ecp is an enzyme cleavable peptide selected from:  
Cap- Paa - Xa2 - Gly - Leu - Leu -;  
Cap- Paa - Xa2 - Gly - Leu - Cha -;  
Cap- Paa - Xa2 - Gly - Leu - Nle -;  
Cap- Paa - Xa2 - Gly - Leu - Hol -;

Cap- Paa - Xa2 - Gly - Hof - Leu -;  
 Cap- Paa - Xa2 - Gly - Hof - Cha -;  
 Cap- Paa - Xa2 - Gly - Hof - Nle -;  
 Cap- Paa - Xa2 - Gly - Hof - Hol -;  
 5 Cap- Paa - Xa2 - Gly - Leu - Xp2 - Leu -;  
 Cap- Paa - Xa2 - Gly - Leu - Xp2 - Cha -;  
 Cap- Paa - Xa2 - Gly - Leu - Xp2 - Nle -;  
 Cap- Paa - Xa2 - Gly - Leu - Xp2 - Hol -;  
 Cap- Paa - Xa2 - Gly - Hof - Xp2 - Leu -;  
 10 Cap- Paa - Xa2 - Gly - Hof - Xp2 - Cha -;  
 Cap- Paa - Xa2 - Gly - Hof - Xp2 - Nle -; and  
 Cap- Paa - Xa2 - Gly - Hof - Xp2 - Hol -;

wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

15 Paa is a Pro, Hyp, Aze, homo-Pro, or Npa;

Xa2 is an amino acid selected from

Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn,  $\beta$ -Ala,  $\gamma$ -Abu, Cha,  
 20 Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-  
 Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof,  
 Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro),  
 Pro, Sar, Ser, Thr, Trp, and Tyr;

25 Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys;  
 Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab;  
 Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp, Ile, Irg, Met, Phe, Phe(4-  
 fluoro), Pro, Sar, Thr, Trp; morpholinylpropyl-Gly; O-(4-pyridylmethyl)-  
 Tyr; and N-methylpiperazinepropyl-Gly;

30 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;  
 Xa4- is an amino acid selected from Gly, Pro,  $\gamma$ -Glu, and Dmg;

R is selected from: H<sub>3</sub>CC(=O)-;  
HOC(=O)CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
5 HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;  
H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;  
2-carboxycyclohexyl-C(=O)-;  
2-carboxycyclopentyl-C(=O)-; and  
10 tetrazoleacetyl.

21. The compound of Claim 20, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin selected from MMP-2, MMP-9, and MMP-14.

15 22. The compound of Claim 20, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin selected from MMP-2 and MMP-9.

23. The compound of Claim 20, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin MMP-14.

20 24. The compound of Claim 20, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by MMP-2, MMP-9, and MMP-14.

25. A compound of Claim 15 of Formula (Ia), or a pharmaceutically acceptable salt  
form thereof, wherein;  
E<sup>cp</sup> is an enzyme cleavable peptide selected from:  
Cap- Xa2 - Gly - Leu - Leu -;  
Cap- Xa2 - Gly - Leu - Cha -;  
Cap- Xa2 - Gly - Leu - Nle -;  
30 Cap- Xa2 - Gly - Leu - Hol -;  
Cap- Xa2 - Gly - Hof - Leu -;  
Cap- Xa2 - Gly - Hof - Cha -;

Cap- Xa2 - Gly - Hof - Nle -;  
 Cap- Xa2 - Gly - Hof - Hol -;  
 Cap- Xa2 - Gly - Leu - Xp2 - Leu -;  
 Cap- Xa2 - Gly - Leu - Xp2 - Cha -;  
 5 Cap- Xa2 - Gly - Leu - Xp2 - Nle -;  
 Cap- Xa2 - Gly - Leu - Xp2 - Hol -;  
 Cap- Xa2 - Gly - Hof - Xp2 - Leu -;  
 Cap- Xa2 - Gly - Hof - Xp2 - Cha -;  
 Cap- Xa2 - Gly - Hof - Xp2 - Nle -; and  
 10 Cap- Xa2 - Gly - Hof - Xp2 - Hol -;

wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by a matrixin;

Xa2 is an amino acid selected from

15 Hof, Leu, His, Arg, Gln, Ile, Val, Lys, (R)-Leu, Orn,  $\beta$ -Ala,  $\gamma$ -Abu, Cha, Chg, Dap, Cit, N-methyl-Leu, valerolactam, N,N-dimethyl-Lys, 4-aza-Phe, morpholinylpropyl-Gly, N-methylpiperazinepropyl-Gly, 4-aza-Hof, Ala, Asn, Asp, Aze, Cys, Glu, Gly, Hyp, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Ser, Thr, Trp, and Tyr;

20 Xp2 is an amino acid selected from Tyr; Ala; Ser; Leu; Gln; Val; Glu, His; Lys; Arg; Orn; Aze; Hof; homo-Tyr; Cit; 4-aza-Phe; N,N-dimethyl-Lys; Dab; Dap; Asn, Asp, Aze, Cha, Cys, Gly, Hyp; Ile, Irg, Met, Phe, Phe(4-fluoro), Pro, Sar, Thr, Trp; morpholinylpropyl-Gly; O-(4-pyridylmethyl)-Tyr; and N-methylpiperazinepropyl-Gly;

25 Cap is an N-terminus group selected from R-; Xa4-; and R-Xa4-;  
 Xa4- is an amino acid selected from Gly, Pro,  $\gamma$ -Glu, and Dmg;

30 R is selected from:  $\text{H}_3\text{CC}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;  
 $\text{HOC}(=\text{O})\text{CH}_2\text{CH}_2\text{CH}_2\text{C}(=\text{O})$ -;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;  
H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;  
H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;  
2-carboxycyclohexyl-C(=O)-;  
5 2-carboxycyclopentyl-C(=O)-; and  
tetrazoleacetyl.

26. The compound of Claim 25, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin selected from MMP-2, MMP-9, and MMP-14.

10 27. The compound of Claim 25, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin selected from MMP-2 and MMP-9.

15 28. The compound of Claim 25, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by the matrixin MMP-14.

29. The compound of Claim 25, wherein -Gly-Leu- and -Gly-Hof- form a bond cleavable by MMP-2, MMP-9, and MMP-14.

20 30. A compound of Claim 4 of Formula (I), or a pharmaceutically acceptable salt form thereof, wherein;  
E<sup>cp</sup> is an enzyme cleavable peptide selected from:  
SEQ ID NO: 185: R- $\gamma$ -E -P-Orn-G-Hof-E-L-;  
SEQ ID NO: 186: R- $\gamma$ -E -P-L-G-(O-benzyl-S)-Y-L-;  
SEQ ID NO: 187: R - $\gamma$ -E -P-L-G-(O-benzyl-S)-Y-Nle-;  
SEQ ID NO: 188: R -P-L-G-(O-benzyl-S)-Y-L-;  
SEQ ID NO: 189: R -P-L-G-(O-methyl-S)-Y-L-;  
SEQ ID NO: 190: R -P-L-G-(azaHof)-Y-L-;  
SEQ ID NO: 191: R -P-L-G-Hof-Y-L-;  
SEQ ID NO: 192: R -P-L-G-Hof-E-L-;  
SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;

SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;  
 SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;  
 SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;  
 SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;  
 SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;  
 SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;  
 SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;  
 SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

R is selected from:  $\text{H}_3\text{CC}(=\text{O})-$ ;

$\text{HOC}(=\text{O})-(\text{CH}_2)_v\text{C}(=\text{O})-$  ;

wherein v is 1, 2, 3, 4, 5, or 6;

5  $\text{H}_3\text{CO}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})-$  ;

$\text{HO}_2\text{CCH}_2\text{O}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})-$  ;

$\text{H}_2\text{N}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})-$  ; and

$\text{H}_3\text{CC}(=\text{O})\text{HN}-(\text{CH}_2\text{CH}_2\text{O})_t\text{CH}_2\text{C}(=\text{O})-$  ;

wherein t is 1, 2, 3, or 4;

10  $\text{R}^1\text{-C}(=\text{O})-$ ;

$\text{R}^1\text{-S}(=\text{O})_2-$ ;

$\text{R}^1\text{-NHC}(=\text{O})-$ ;

$\text{R}^{1\text{a}}\text{-CH}_2\text{C}(=\text{O})-$ ;

proline substituted with  $-\text{OR}^3$ ;

15  $\text{C}_1\text{-C}_4$  alkyl substituted with 0-1  $\text{R}^4$ ;

2-carboxyphenyl-C(=O)-; and

$(\text{O}=\text{C})\text{-phenyl-C}(=\text{O})-$ ;

$\text{R}^1$  is  $\text{C}_3\text{-C}_6$  cycloalkyl substituted with 0, 1, or 2 substituents selected from

20  $-\text{OH}$ , methoxy and  $-\text{CO}_2\text{H}$ ;

5-6 membered heterocycle; said heterocycle being saturated, partially  
saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
heteroatoms selected from N, O, and S; said heterocycle optionally  
substituted with 1 or 2 -OH, methoxy or -CO<sub>2</sub>H;

5 phenyl substituted with 0, 1, or 2 substituents selected from -OH,  
methoxy and -CO<sub>2</sub>H; or

C<sub>1</sub>-C<sub>6</sub> alkyl substituted with 0-4 R<sup>1a</sup>;

R<sup>1a</sup> is -OH, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, -CO<sub>2</sub>H, -N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N-R<sup>2</sup>, -SO<sub>3</sub>H;  
C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted with 0, 1, or 2 substituents selected from  
10 methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially  
saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
heteroatoms selected from N, O, and S; said heterocycle optionally  
substituted with 1 or 2 -OH; or

15 phenyl substituted with 0, 1, or 2 substituents selected from methoxy  
and -OH;

R<sup>2</sup> is -H, H<sub>2</sub>N(C<sub>2</sub>-C<sub>4</sub> alkyl)-, acetyl(H)N(C<sub>2</sub>-C<sub>4</sub> alkyl)-, or acetyl;

R<sup>3</sup> is -H, C<sub>1</sub>-C<sub>4</sub> alkyl, C<sub>3</sub>-C<sub>6</sub> cycloalkyl, phenyl, or benzyl;

R<sup>4</sup> is -OH, C<sub>1</sub>-C<sub>3</sub> alkyl, C<sub>1</sub>-C<sub>4</sub> alkoxy, -CO<sub>2</sub>H, -N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>N-R<sup>2</sup> ;  
20 C<sub>3</sub>-C<sub>6</sub> cycloalkyl substituted with 0, 1, or 2 substituents selected from  
methoxy and -OH;

5-6 membered heterocycle; said heterocycle being saturated, partially  
saturated or unsaturated; said heterocycle containing 1, 2, 3, or 4  
heteroatoms selected from N, O, and S; said heterocycle optionally  
substituted with 1 or 2 -OH; or

25 C<sub>6</sub>-C<sub>10</sub> carbocycle substituted with 0, 1, or 2 substituents selected from  
methoxy and -OH.

31. A compound of Claim 30 of Formula (I), or a pharmaceutically acceptable salt  
30 form thereof, wherein;  
E<sup>CP</sup> is an enzyme cleavable peptide selected from:

SEQ ID NO: 185: R- $\gamma$ -E -P-Orn-G-Hof-E-L-;  
 SEQ ID NO: 186: R- $\gamma$ -E -P-L-G-(O-benzyl-S)-Y-L-;  
 SEQ ID NO: 187: R - $\gamma$ -E -P-L-G-(O-benzyl-S)-Y-Nle-;  
 SEQ ID NO: 188: R -P-L-G-(O-benzyl-S)-Y-L-;  
 SEQ ID NO: 189: R -P-L-G-(O-methyl-S)-Y-L-;  
 SEQ ID NO: 190: R -P-L-G-(azaHof)-Y-L-;  
 SEQ ID NO: 191: R -P-L-G-Hof-Y-L-;  
 SEQ ID NO: 192: R -P-L-G-Hof-E-L-;  
 SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;  
 SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;  
 SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;  
 SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;  
 SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;  
 SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;  
 SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;  
 SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;  
 SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

R is selected from: H<sub>3</sub>CC(=O)-;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

5 HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

HO<sub>2</sub>CCH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

10 H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

H<sub>3</sub>CC(=O)HNCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

H<sub>3</sub>CC(=O)HNCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

$\text{H}_2\text{NCH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C(O)-;}$   
 $\text{H}_3\text{CC(=O)HNCH}_2\text{CH}_2\text{N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C(O)-;}$   
 $\text{H}_3\text{CC(=O)N}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{C(O)-;}$   
 $\text{O}(\text{CH}_2\text{CH}_2)_2\text{NCH}_2\text{CH}_2\text{NHC(O)- ;}$   
5       $\text{HO}_2\text{CCH}_2\text{C}(\text{CO}_2\text{H})(\text{OH})\text{CH}_2\text{C(=O)- ;}$   
 $\text{HO}_2\text{CCH}_2\text{C(CH}_3)(\text{OH})\text{CH}_2\text{C(=O)- ;}$   
 $\text{2-carboxycyclohexyl-C(=O)-;}$   
 $\text{2-carboxycyclopentyl-C(=O)-;}$   
 $\text{carbobenzyloxy;}$   
10      $\text{4-methoxy-benzenesulfonyl;}$   
 $\text{cyclopropylcarbonyl;}$   
 $\text{cyclobutylcarbonyl;}$   
 $\text{3-pyridinecarbonyl;}$   
 $\text{2-pyrazinecarbonyl;}$   
15      $\text{tetrazoleacetyl;}$   
 $\text{pivaloyl;}$   
 $\text{methoxyacetyl;}$   
 $\text{hydroxyproline; and}$   
 $\text{4-(2-(5,6,7,8-tetrahydronaphthyl))butyl.}$   
20  
32. A compound of Claim 30 of Formula (I), or a pharmaceutically acceptable salt form thereof, wherein;  
 $\text{E}^{\text{cp}}$  is an enzyme cleavable peptide selected from:

- SEQ ID NO: 185:                     $\text{R-}\gamma\text{-E -P-Orn-G-Hof-E-L-;}$
- SEQ ID NO: 186:                     $\text{R-}\gamma\text{-E -P-L-G-(O-benzyl-S)-Y-L-;}$
- SEQ ID NO: 187:                     $\text{R-}\gamma\text{-E -P-L-G-(O-benzyl-S)-Y-Nle-;}$
- SEQ ID NO: 188:                     $\text{R -P-L-G-(O-benzyl-S)-Y-L-;}$
- SEQ ID NO: 189:                     $\text{R -P-L-G-(O-methyl-S)-Y-L-;}$
- SEQ ID NO: 190:                     $\text{R -P-L-G-(azaHof)-Y-L-;}$
- SEQ ID NO: 191:                     $\text{R -P-L-G-Hof-Y-L-;}$
- SEQ ID NO: 192:                     $\text{R -P-L-G-Hof-E-L-;}$

SEQ ID NO: 193: R -P-L-G-(O-benzyl-S)-Y-Nle-;  
 SEQ ID NO: 194: R -P-L-G-(O-methyl-S)-Y- Nle -;  
 SEQ ID NO: 195: R -P-L-G-(azaHof)-Y- Nle -;  
 SEQ ID NO: 196: R -P-L-G-Hof-Y- Nle -;  
 SEQ ID NO: 197: R -P-L-G-Hof-E- Nle -;  
 SEQ ID NO: 198: R -P-L-G-(O-benzyl-S)-Y-Hol-;  
 SEQ ID NO: 199: R -P-L-G-(O-methyl-S)-Y- Hol -;  
 SEQ ID NO: 200: R -P-L-G-(azaHof)-Y- Hol -;  
 SEQ ID NO: 201: R -P-L-G-Hof-Y- Hol -;

and

SEQ ID NO: 202: R -P-L-G-Hof-E- Hol -;

R is selected from: H<sub>3</sub>CC(=O)-;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

5 HOC(=O)CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>C(=O)-;

H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-;

H<sub>3</sub>COCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>CH<sub>2</sub>OCH<sub>2</sub>C(=O)-; and

tetrazoleacetyl.

10 33. The compound of Claim 1 selected from:

SEQ ID NO:SEQ ID NO: 1:	4-methoxy-benzenesulfonyl- $\beta$ -Ala-G-Hof-Y-L-Dox;
SEQ ID NO: 2:	1,2-C <sub>6</sub> H <sub>4</sub> (CO) <sub>2</sub> -H-G-Hof-Y-L-Dox;
SEQ ID NO: 3:	acetyl -P-L-G-L-L-Dox;
SEQ ID NO: 4:	acetyl -P-(R )L-G-L-L-Dox;
SEQ ID NO: 5:	acetyl -P-( $\beta$ -Ala) -G-L-L-Dox;
SEQ ID NO: 6:	acetyl -P-( $\gamma$ -Abu) -G-L-L-Dox;
SEQ ID NO: 7:	acetyl -P-Cha-G-L-L-Dox;
SEQ ID NO: 8:	P-L-G-L-L-Dox;
SEQ ID NO: 9:	MeOCH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C(=O)- P-L-G-L-L-Dox;
SEQ ID NO: 10:	MeOCH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> CH <sub>2</sub> OCH <sub>2</sub> C(=O)- P-L-G-L-L-Dox;
SEQ ID NO: 11:	H <sub>2</sub> NCH <sub>2</sub> CH <sub>2</sub> N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> NCH <sub>2</sub> C(=O)- P-L-G-L-L-Dox;
SEQ ID NO: 12:	AcHNCH <sub>2</sub> CH <sub>2</sub> N(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> NCH <sub>2</sub> C(=O)- P-L-G-L-L-Dox;
SEQ ID NO: 13:	AcN(CH <sub>2</sub> CH <sub>2</sub> ) <sub>2</sub> NCH <sub>2</sub> C(=O)- P-L-G-L-L-Dox;
SEQ ID NO: 17:	Dmg- P-R-Sar-Hof-L-Dox;

SEQ ID NO: 18: acetyl-P-H-G-Hof-L-Dox;  
 SEQ ID NO: 19: acetyl-P-Orn-G-Hof-L-Dox;  
 SEQ ID NO: 20: acetyl-P-Dap-G-Hof-L-Dox;  
 SEQ ID NO: 21: acetyl-P-Cit-G-Hof-L-Dox;  
 SEQ ID NO: 22: acetyl-P-L-G-(O-(3-pyridyl-))Y-L-Dox;  
 SEQ ID NO: 23: acetyl-P-L-G-(O-(4-pyridyl-))Y-L-Dox;  
 SEQ ID NO: 24: acetyl-P-L-G-(4-aza-)Hof-L-Dox;  
 SEQ ID NO: 25: acetyl-P-L-G-(O-benzyl-)S-L-Dox;  
 SEQ ID NO: 26: Cbz-P-L-G-(O-(4-pyridylmethyl-))Y-L-Dox;  
 SEQ ID NO: 27: acetyl -P-L-Sar-L-L-Dox;  
 SEQ ID NO: 28: acetyl -P-(N-Me-)L-G-L-L-Dox;  
 SEQ ID NO: 29: acetyl -P-L-G-(N-Me-)L-L-Dox;  
 SEQ ID NO: 30: acetyl -Hyp- L-G-L-L-Dox;  
 SEQ ID NO: 31: acetyl -Tzc- L-G-L-L-Dox;  
 SEQ ID NO: 32: acetyl -(Homo-P)-L-G-L-L-Dox;  
 SEQ ID NO: 33: acetyl -(Homo-P)-L-G- Hof -L-Dox;  
 SEQ ID NO: 34: acetyl -(Homo-P)-Orn-G- Hof -L-Dox;  
 SEQ ID NO: 35: acetyl -Nipecotate -L-G-L-L-Dox;  
 SEQ ID NO: 36: acetyl -Aze-L-G-L-L-Dox;  
 SEQ ID NO: 37: acetyl -Chg -L-G-L-L-Dox;  
 SEQ ID NO: 38: acetyl -P-valerolactam -G-L-L-Dox;  
 SEQ ID NO: 41: acetyl -L-G-L-Y-L-Dox;  
 SEQ ID NO: 42: cyclopropylcarbonyl -L-G-L-Y-L-Dox;  
 SEQ ID NO: 43: cyclobutylcarbonyl -L-G-L-Y-L-Dox;  
 SEQ ID NO: 44: pivaloyl -L-G-L-Y-L-Dox.  
 SEQ ID NO: 45: Hyp-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 46: acetyl -P-L-G-L-A-L-Dox;  
 SEQ ID NO: 47: acetyl -P-L-G-L-Y-L-Dox;  
 SEQ ID NO: 48: Peg -P-L-G-L-Y-L-Dox;  
 SEQ ID NO: 49: H<sub>3</sub>CC(=O)NH-Peg -P-L-G-L-Y-L-Dox;  
 SEQ ID NO: 50: AcHNCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(=O)- P-L-G-L-Y-L-Dox;  
 SEQ ID NO: 51: acetyl -P-L-G-L-S-L-Dox;  
 SEQ ID NO: 52: acetyl-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 53: O(CH<sub>2</sub>CH<sub>2</sub>)NCH<sub>2</sub>CH<sub>2</sub>NHC(=O)-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 55: acetyl -P-L-G-L-L-Dox;  
 SEQ ID NO: 58: Cbz-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 59: AcHNCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(=O)-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 60: H<sub>2</sub>NCH<sub>2</sub>CH<sub>2</sub>N(CH<sub>2</sub>CH<sub>2</sub>)<sub>2</sub>NCH<sub>2</sub>C(=O)-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 61: Dmg-P-L-G-L-L-Dox;  
 SEQ ID NO: 62: acetyl-  $\gamma$ -E -P-L-G-L-L-Dox;  
 SEQ ID NO: 65: methoxyacetyl-G-P-L-G-L-L-Dox;  
 SEQ ID NO: 66: Dmg-P-L-G-Tha-L-Dox;  
 SEQ ID NO: 67: Dmg-P-L-G-Phg-L-Dox;  
 SEQ ID NO: 68: Dmg-P-L-G-(O-benzyl-Y)-L-Dox;  
 SEQ ID NO: 69: Dmg-P-L-G-Bip-L-Dox;  
 SEQ ID NO: 77: acetyl-G-P-Q-G-L-L-Dox;  
 SEQ ID NO: 78: acetyl-G-P-R-G-L-L-Dox;  
 SEQ ID NO: 82: acetyl-G-P-L-G-V-L-Dox;

SEQ ID NO: 83: acetyl-G-P-L-G-Hof-L-Dox;  
 SEQ ID NO: 84: acetyl-G-P-L-A-L-L-Dox;  
 SEQ ID NO: 85: Dmg-P-I-G-Bip-L-Dox;  
 SEQ ID NO: 86: Dmg-P-Chg-G-Bip-L-Dox;  
 SEQ ID NO: 87: acetyl-G-P-V-G-L-L-Dox;  
 SEQ ID NO: 88: Dmg-P-I-G-L-L-Dox;  
 SEQ ID NO: 89: Dmg-P-R-G-Bip-L-Dox;  
 SEQ ID NO: 91: acetyl-G-P-L-G-E-L-Dox;  
 SEQ ID NO: 92: Dmg-P-K-G-Bip-L-Dox;  
 SEQ ID NO: 95: Dmg -P-R-Sar-Hof-R-L-Dox;  
 SEQ ID NO: 96: Dmg -P-R-G-Hof-R-L-Dox;  
 SEQ ID NO: 97: Dmg -P-R-G-Bip-R-L-Dox;  
 SEQ ID NO: 98: acetyl-G-P-L-G-N-L-Dox;  
 SEQ ID NO: 99: acetyl-G-P-L-G-S-L-Dox;  
 SEQ ID NO: 100: acetyl-G-P-L-G-(4-hydroxy-phenyl-G)-L-Dox;  
 SEQ ID NO: 101: acetyl -P-L-G-Hof-H-L-Dox;  
 SEQ ID NO: 102: acetyl -P-L-G-Hof-A-L-Dox;  
 SEQ ID NO: 103: acetyl -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 104: acetyl -P-L-G-Hof- (morpholinylpropyl-G) -L-Dox;  
 SEQ ID NO: 105: acetyl -γ-E -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 106: succinyl -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 107: acetyl -P-L-G-Hof- (O-(4-pyridylmethyl)-Y)-L-Dox;  
 SEQ ID NO: 108: acetyl -P-L-G-(homo-Y)-Y-L-Dox;  
 SEQ ID NO: 109: acetyl -P-L-G-(4-aza-Hof)-Y-L-Dox;  
 SEQ ID NO: 110: acetyl -P-L-G-( O-(4-pyridyl)-Y)-Y-L-Dox;  
 SEQ ID NO: 111: acetyl -P-L-G- (phenylpropyl-G) -Y-L-Dox;  
 SEQ ID NO: 112: acetyl -P-L-G-(styryl-A)-Y-L-Dox;  
 SEQ ID NO: 113: acetyl -P-L-G-( O-benzyl-S)-Y-L-Dox;  
 SEQ ID NO: 114: acetyl -P- (N,N-dimethyl-K)-G-Hof-Y-L-Dox;  
 SEQ ID NO: 115: acetyl -P-L-G-Hof-Dap-L-Dox;  
 SEQ ID NO: 116: acetyl -P-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 117: Peg -P-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 118: acetyl -γ-E -P-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 119: γ-E -P-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 120: acetyl -P-Orn-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 121: acetyl -P-Orn-G-Hof-Y-L-Dox;  
 SEQ ID NO: 122: acetyl -γ-E -P-Orn-G-Hof-E-L-Dox;  
 SEQ ID NO: 123: acetyl -P-Orn-G-L-Y-L-Dox;  
 SEQ ID NO: 124: acetyl -P-(4-aza-F)-G-L-Y-L-Dox;  
 SEQ ID NO: 125: acetyl -P-L-G-Hof-Dab-L-Dox;  
 SEQ ID NO: 126: acetyl -P-L-G-Hof-K-L-Dox;  
 SEQ ID NO: 127: acetyl -P-L-G-Hof- (N,N-dimethyl-K)-L-Dox;  
 SEQ ID NO: 128: Dmg -P-L-G-Hof-(N,N-dimethyl-K)-L-Dox;  
 SEQ ID NO: 129: Peg -P-L-G-Hof- (N,N-dimethyl-K)-L-Dox;  
 SEQ ID NO: 130: acetyl -γ-E -P-L-G-Hof-(N,N-dimethyl-K)-L-Dox;  
 SEQ ID NO: 131: γ-E -P-L-G-Hof-(N,N-dimethyl-K)-L-Dox;  
 SEQ ID NO: 132: acetyl -P-L-G-Hof- (N,N-dimethyl-K)-Nle-Dox;

SEQ ID NO: 133: acetyl -P-L-G-Hof- (N,N-dimethyl-K)-Cha-Dox;  
 SEQ ID NO: 134: acetyl -P-L-G-Hof-Cit-L-Dox;  
 SEQ ID NO: 135: acetyl - $\gamma$ -E -P-L-G-Hof-Cit-L-Dox;  
 SEQ ID NO: 136: acetyl -P-L-G-Hof-Q-L-Dox;  
 SEQ ID NO: 137: acetyl -P-L-G-Hof-(4-aza-F)-L-Dox;  
 SEQ ID NO: 138: acetyl -P-L-G-Hof-V-L-Dox;  
 SEQ ID NO: 139: acetyl - $\gamma$ -E -P-L-G-Hof-E-L-Dox;  
 SEQ ID NO: 140: acetyl-G-Aze-L-G-L-L-Dox;  
 SEQ ID NO: 141: acetyl -(4-fluoro-F)- L-G-L-L-Dox;  
 SEQ ID NO: 142: acetyl -(homo-P)-L-G-L-Y-L-Dox;  
 SEQ ID NO: 143: acetyl -(homo-P)-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 144: acetyl -Aze-L-G-L-Y-L-Dox;  
 SEQ ID NO: 145: acetyl -Aze-L-G-Hof-Orn-L-Dox;  
 SEQ ID NO: 154: acetyl -P-L-G-L-L-A-L-Dox;  
 SEQ ID NO: 155: acetyl -P-L-G-L-Y-A-L-Dox;  
 SEQ ID NO: 156: acetyl -G -P-L-G-L-A-L-Dox;  
 SEQ ID NO: 157: acetyl -P-L-G-L-A-A-L-Dox;  
 SEQ ID NO: 158: acetyl -P-L-G-L-A-L-L-Dox;  
 SEQ ID NO: 159: acetyl -P-L-G-L-L-S-L-Dox;  
 SEQ ID NO: 160: acetyl -P-L-G-L-L-L-Dox;  
 SEQ ID NO: 161: Dmg -P-L-G-L-Y-L-Dox;  
 SEQ ID NO: 162: Dmg -P-R-G-Phg-Y-L-Dox;  
 SEQ ID NO: 163: acetyl -G -P-L-G-L-R-L-Dox;  
 SEQ ID NO: 164: 4-(2-(5,6,7,8-tetrahydronaphthyl))butyl -G-Hof-Y-L-Dox;  
 SEQ ID NO: 165: acetyl -P-L-G-Hof-(N-methylpiperazinepropyl-G)-L-Dox;  
 SEQ ID NO: 166: tetrazoleacetyl -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 167: tetrazoleacetyl -P-L-G-(O-benzyl-S )-Y-L-Dox;  
 SEQ ID NO: 168: tetrazoleacetyl -P-L-G-Hof-Y-Nle-Dox;  
 SEQ ID NO: 169: P-L-G-(O-benzyl-S )-Y-L-Dox;  
 SEQ ID NO: 170: acetyl -P-L-G-Hof-(homoY)-L-Dox;  
 SEQ ID NO: 171: acetyl -P-AzaHof-G-AzaHof-Y-L-Dox;  
 SEQ ID NO: 172: acetyl -P-L-G-(O-allyl-S )-Y-L-Dox;  
 SEQ ID NO: 173: acetyl -P-L-G-(4-nitro-Hof )-Y-L-Dox;  
 SEQ ID NO: 174: acetyl -P-L-G-Hof-AzaHof-L-Dox;  
 SEQ ID NO: 175: acetyl -P-L-G-(O-methyl-S )-Y-L-Dox;  
 SEQ ID NO: 176: acetyl - $\gamma$ -E -P-L-G-(O-benzyl-S )-Y-L-Dox;  
 SEQ ID NO: 177: acetyl - $\gamma$ -E -P-L-G-(O-benzyl-S )-Y-Nle-Dox;  
 SEQ ID NO: 178: 3-pyridinecarbonyl -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 179: 2-pyrazinecarbonyl -P-L-G-Hof-Y-L-Dox;  
 SEQ ID NO: 180: acetyl -P-L-G-Hof- (N,N-dimethyl-K)-Nle-Dox;  
 SEQ ID NO: 182: acetyl -P-L-G-Hof-Y-Hol-Dox;  
 SEQ ID NO: 183: acetyl -P-L-G-Thr(O-Benzyl)-Y-L-Dox;  
 SEQ ID NO: 184: acetyl - $\gamma$ -E -P-L-G-Hof-Y-Nle-Dox;

34. The compound of Claim 1 selected from:

SEQ ID NO: 39:	acetyl -G-P-L-G-L-F-Dox;
SEQ ID NO: 40:	acetyl -G-P-L-G-F-F-Dox;
SEQ ID NO: 54:	acetyl-G-P-L-G-L-Y-Dox;
SEQ ID NO: 56:	acetyl-G-P-L-G-Bip-F-Dox;
SEQ ID NO: 57:	acetyl-G-P-L-G-Nle-F-Dox;
SEQ ID NO: 63:	acetyl-G-P-L-G-Tha-F-Dox;
SEQ ID NO: 64:	acetyl-G-P-L-G-Phg-F-Dox;
SEQ ID NO: 70:	acetyl-G-P-L-G-F-Bip-Dox;
SEQ ID NO: 71:	acetyl-G-P-L-G-L-Bip-Dox;
SEQ ID NO: 72:	acetyl-G-P-L-G-(2Nal)-Bip-Dox;
SEQ ID NO: 73:	acetyl-G-P-L-G-F-A-Dox;
SEQ ID NO: 74:	acetyl-G-P-L-G-Bip-A-Dox;
SEQ ID NO: 75:	acetyl-G-P-L-G-L-A-Dox;
SEQ ID NO: 76:	acetyl-G-P-L-G-(O-benzyl-Y)-F-Dox;
SEQ ID NO: 79:	acetyl-G-P-L-G-L-(4-pyridyl-A)-Dox;
SEQ ID NO: 80:	acetyl-G-P-L-G-L-R-Dox;
SEQ ID NO: 81:	acetyl-G-P-L-G-L-W-Dox;
SEQ ID NO: 90:	acetyl-G-P-L-G-L-(O-benzyl-Y)-Dox;
SEQ ID NO: 93:	acetyl-G-P-L-G-L-E-Dox;
SEQ ID NO: 94:	acetyl-G-P-L-G-Bip-E-Dox;
SEQ ID NO: 146:	acetyl -P-L-G-L-Y-G-Dox;
SEQ ID NO: 147:	acetyl -P-L-G-Hof-Y-G-Dox;
SEQ ID NO: 148:	acetyl -P-L-G-L-Y-(β-homo-L)-Dox;
SEQ ID NO: 149:	acetyl -P-L-G-Hof-Y-(β-homo-L)-Dox;
SEQ ID NO: 150:	acetyl -P-L-G-L-Y- (β-Ala)-Dox;
SEQ ID NO: 151:	acetyl -P-L-G-L-Y-Ahx -Dox;
SEQ ID NO: 152:	acetyl -P-L-G-L-Y-Aph -Dox;
SEQ ID NO: 153:	acetyl -P-L-G-L-Y-Amh -Dox;
SEQ ID NO: 181:	acetyl -P-L-G-Hof-Y-Hos-Dox;

35. A pharmaceutical composition comprising a compound of Claim 1 and a pharmaceutically acceptable carrier.

5

36. A method of treating a mammal afflicted with a cancer comprising administering to a mammal afflicted with a cancer a therapeutically effective amount of a compound of Claim 1.

10 37. The method of Claim 36, wherein the cancer is a breast, ovarian, brain, stomach, lung, colon, prostate or liver cancer or wherein the cancer is a leukemia, lymphoma, carcinoma, sarcoma, or melanoma.

38. A method of delivering a compound to the cells of a mammal afflicted with a cancer comprising contacting the cells of a mammal afflicted with a cancer with a compound of Claim 1, wherein the contacting is in the presence of a peptidase comprising a matrixin.

5

39. The method of Claim 38, wherein the cancer is a breast, ovarian, brain, stomach, lung, colon, prostate or liver cancer or wherein the cancer is a leukemia, lymphoma, carcinoma, sarcoma, or melanoma.